

Claims

We claim:

1 1. A process for obtaining single enantiomer *d-threo*-methylphenidate or *l-threo*-
2 methylphenidate, which comprises resolution of a mixture of the *d-threo*-methylphenidate
3 and *l-threo*-methylphenidate enantiomers; racemisation of the unwanted enantiomer, to give
4 a mixture of all four stereoisomers, wherein the equilibrium of said racemisation proceeds in
5 favor of the *d-threo* and *l-threo* stereoisomers over the *d-erythro* and *l-erythro* stereoisomers
6 of methylphenidate; and separation of the *d-erythro* and *l-erythro* stereoisomers, to leave the
7 said mixture of *d-threo*-methylphenidate and *l-threo*-methylphenidate enantiomers for
8 resolution.

1 2. The process, according to claim 1, wherein the single enantiomer obtained is the
2 *d-threo* isomer, *i.e.*, the isomers of (*R,R*) absolute configuration.

1 3. The process, according to claim 1, wherein the racemisation comprises heating the
2 unwanted enantiomer with a carboxylic acid, wherein said carboxylic acid is achiral.

1 4. The process, according to claim 1, wherein the separation is conducted following
2 hydrolysis of the mixture of stereoisomers, to give ritalinic acid, and before or after re-
3 esterification of the acid.

1 5. The process, according to claim 4, which additionally comprises equilibrating the
2 product of hydrolysis wherein the *threo* diastereoisomer is preferentially obtained.

1 6. The process, according to claim 1, wherein the resolution is conducted using a
2 chiral acid.

1 7. The process, according to claim 6, wherein the acid is *O,O'*-ditoluoyltartaric acid.